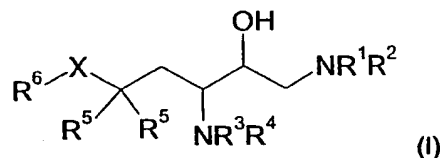


Claims

1. Compound of the formula



where

X is methylene or hydroxymethylene;

R¹ a) is hydrogen; or

b) is C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl-C₀-C₄-alkyl or heterocyclyl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl;

R² a) is C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkylsulphonyl, C₃-C₈-cycloalkylsulphonyl, aryl-C₀-C₈-alkylsulphonyl, heterocyclylsulphonyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₃-C₈-cycloalkanoyl, aryl-C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, aryl-C₃-C₈-cycloalkanoyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, optionally N-mono or N,N-di-C₁-C₈-alkylated carbamoyl-C₀-C₈-alkyl, aryl-C₀-C₄-alkyl or heterocyclyl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, amino, C₁₋₆-alkylamino, di-C₁₋₆-alkylamino, C₀-C₆-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, optionally N-mono or N,N-di-C₁-C₈-alkylated carbamoyl, C₁-C₈-alkoxycarbonyl, C₁₋₆-alkylenedioxy, aryl or heterocyclyl; or

b) together with R₁ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an -SO- or -SO₂- group, and the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an -SO- or -SO₂- group, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals,

THIS PAGE BLANK (USPTO)

and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkoxycarbonylamino, C₁-C₈-alkylcarbonylamino, C₁-C₈-alkylamino, N,N-di-C₁-C₈-alkylamino, aryl-C₀-C₄-alkyl, aryloxy-C₀-C₄-alkyl, aryl-C₀-C₄-alkyl-C₁-C₈-alkoxy, aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy, heterocyclyl-C₀-C₄-alkyl, heterocyclyloxy-C₀-C₄-alkyl, heterocyclyl-C₀-C₄-alkyl-C₁-C₈-alkoxy or heterocyclyloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy;

R³ is hydrogen, C₁-C₄-alkyl, C₁-C₈-alkoxycarbonyl or C₁-C₈-alkanoyl;

R⁴ is hydrogen, C₁-C₄-alkyl, C₁-C₈-alkoxycarbonyl or C₁-C₈-alkanoyl;

R⁵ are each independently hydrogen, C₁-C₈-alkyl or, together with the carbon atom to which they are bonded, are a C₃-C₈-cycloalkylidene radical;

(A) R⁶ is a heterocyclyl radical or a polycyclic, unsaturated hydrocarbon radical which is substituted by from one to four radicals selected from C₁-C₆-alkyl, C₃₋₈-cycloalkyl, C₃₋₈-cycloalkoxy, C₃₋₈-cycloalkoxy-C₁₋₆-alkyl, C₃₋₈-cycloalkoxy-C₁₋₆-alkoxy, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, amino-C₁₋₆-alkyl, amino-C₂₋₇-alkoxy, polyhalo-C₁₋₆-alkyl, polyhalo-C₂₋₇-alkoxy, nitro, amino, C₂-C₆-alkenyl, C₁-C₆-alkoxy, C₁-C₆-alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C₁-C₆-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C₁-C₆-alkyl or phenyl-C₁-C₆-alkoxy, each of which are optionally substituted by halogen, C₁-C₆-alkyl, C₁₋₆-alkoxy, hydroxyl, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₁₋₆-alkoxycarbonyl, hydroxy-C₁₋₆-alkyl or trifluoromethyl, pyridylcarbonylamino-C₁₋₆-alkyl, C₂₋₇-alkenyloxy, C₁₋₆-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxybenzyloxy, dioxolanyl-C₁₋₆-alkoxy, C₃₋₈-cycloalkyl-C₁₋₆-alkyl, C₃₋₈-cycloalkyl-C₁₋₆-alkoxy, hydroxy-C₂₋₇-alkoxy, carbamoyloxy-C₂₋₇-alkoxy, pyridylcarbamoyloxy-C₂₋₇-alkoxy, benzoyloxy-C₂₋₇-alkoxy, C₁₋₆-alkoxycarbonyl, C₁₋₆-alkylcarbonylamino, C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino-C₂₋₇-alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₂₋₇-alkoxy, C₃₋₈-cycloalkylcarbonylamino-C₁₋₆-alkyl, C₃₋₈-cycloalkylcarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, hydroxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxy-carbonylamino-C₁₋₆-alkyl, C₁₋₆-alkoxycarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylamino-carbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylamino-carbonyl-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbonyloxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonyloxy-C₂₋₆-alkoxy, cyano-C₁₋₆-

alkyl, cyano-C₁₋₆-alkoxy, 2-oxooxazolidinyl-C₁₋₆-alkyl, 2-oxo-oxazolidinyl-C₁₋₆-alkoxy, C₁₋₆-alkoxycarbonyl-C₁₋₆-alkyl, C₁₋₆-alkoxycarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylsulphonyl-amino-C₁₋₆-alkyl, C₁₋₆-alkylsulphonylamino-C₂₋₇-alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylsulphonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylsulphonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₇-alkoxy, di-C₁₋₆-alkylamino-C₁₋₆-alkyl, di-C₁₋₆-alkylamino-C₂₋₇-alkoxy, C₁₋₆-alkylsulphonyl-C₁₋₆-alkyl, C₁₋₆-alkylsulphonyl-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkyl, carboxy-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, acyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxycarbonylamino, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-hydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkoxy-aminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C₁₋₆-alkoxy, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-acyl)-C₁₋₆-alkoxy-C₁₋₆-alkylamino, C₁₋₆-alkoxy-C₁₋₆-alkylcarbamoyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4-oxoimidazol-1-yl, carbamoyl-C₁₋₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkylsulphonyl, C₁₋₆-alkylamidinyl, acetamidinyl-C₁₋₆-alkyl, O-methyloximyl-C₁₋₆-alkyl, O,N-dimethylhydroxylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkyl-C₁₋₆-alkanoyl, aryl-C₁₋₆-alkanoyl or heterocyclyl-C₁₋₆-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C₁₋₆-alkyl, pyridyl-C₁₋₆-alkoxy, pyrimidinyl, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C₁₋₆-alkyl, pyrimidinyl-C₁₋₆-alkoxy, thienyl, thienyl-C₁₋₆-alkyl, thienyl-C₁₋₆-alkoxy, furyl, furyl-C₁₋₆-alkyl or furyl-C₁₋₆-alkoxy, each of which is optionally substituted by halogen, C₁₋₆-alkyl, C₁₋₆-alkoxy or dihydroxy-C₁₋₆-alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxo-pyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl,

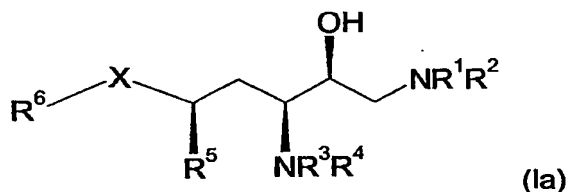
imidazolylalkoxy, 2-methyl-imidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methyl-piperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methyl-piperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxy-pyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxo-tetrahydropyrimidinyl and the $-O-CH_2CH(OH)CH_2NR_x$ radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical; or

- (B) R⁶ is a polycyclic, unsaturated hydrocarbon radical, phenyl substituted by C₁-C₆-alkylenedioxy, furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolyl, pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidyl, morpholinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, quinazolinyl, quinoxalyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzoimidazolyl, 2-oxobenzoimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo[d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5-oxo-4H[1,2,4]triazinyl, 3-oxo-4H-benzo[1,4]thiazinyl, tetrahydroquinoxalyl, 1,1,3-trioxodihydro-2H-1λ⁶-benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo[e][1,4]diazepinyl, 1H-pyrroliziny, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d]pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo[1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido[2,3-b][1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo[1,3]dioxolyl, benzooxazolyl, 2-oxobenzoaxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl;

- 78 -

or a salt or prodrug thereof or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, in particular a pharmaceutically usable salt thereof.

2. Compound according to Claim 1, characterized in that it corresponds to the formula (Ia)



where the substituents are each as defined in Claim 1.

3. Compound according to Claim 1 or 2, in which

R² is C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkylsulphonyl, C₃-C₈-cycloalkylsulphonyl, aryl-C₀-C₈-alkylsulphonyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₃-C₈-cycloalkanoyl, aryl-C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₁-C₈-alkanoyl or aryl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, C₀-C₆-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, trifluoromethyl, C₁-C₈-alkoxy or optionally N-mono- or N,N-di-C₁-C₈-alkylated carbamoyl.

4. Compound according to Claim 1 or 2, in which

R¹ a) is hydrogen; or

b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

R² a) is C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl or aryl-C₁-C₈-alkanoyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₁₋₆-alkylamino, cyano, halogen, hydroxyl, C₁-C₆-alkanoylamino, C₁-C₈-alkoxy, oxide, oxo, trifluoromethyl or aryl; or

b) together with R¹ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be

- 79 -

substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxide, oxo, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkanoylamino or aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy.

5. Compound according to Claim 1 or 2, in which

X is methylene;

R¹ a) is hydrogen; or

b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

R² a) is C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl or aryl-C₁-C₈-alkanoyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₁₋₆-alkylamino, cyano, halogen, hydroxyl, C₁-C₆-alkanoylamino, C₁-C₈-alkoxy, oxide, oxo, trifluoromethyl or aryl; or

b) together with R¹ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxide, oxo, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkanoylamino or aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy;

R³ is hydrogen;

R⁴ is hydrogen;

R⁵ are each independently hydrogen or C₁-C₈-alkyl; and

R⁶ is as defined in Claim 1.

6. Compound according to one of Claims 1 to 5, in which the R⁶ radical is selected from the group consisting of furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinoliny, benzoimidazolyl, di-C₁₋₆-alkoxypyrimidinyl, 2- and 5-benzo[b]thienyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxaliny, 6- and 7-quinazoliny, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzo[1,4]oxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl or benzofuranyl; and

6- and 7-quinolyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, oxotetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxaliny, 6- and 7-quinazoliny, indolyl, dihydro-3H-

benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-2,3-dihydrobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, 2,3-dihydrobenzothiazinyl, imidazolyl, benzoimidazolyl, pyridinyl, pyrrolo[2,3-b]pyridinyl, pyrrolo[3,2-c]pyridinyl, pyrrolo[2,3-c]pyridinyl, pyrrolo[3,2-b]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, [1,2,4]triazolo[4,3-a]pyridinyl, imidazo[1,2-a]pyrimidinyl, imidazo[1,5-a]pyridinyl or naphthyl or cyclohexenophenyl, each of which is substituted by from one to four radicals selected from C₁₋₆-alkyl, cyano, oxo, oxide, trifluoromethyl, hydroxyl, halogen, carbamoyl, carboxy, C₁₋₆-alkoxy, hydroxy-C₂₋₇-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkoxy, di-C₁₋₆-alkylamino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-C₁₋₆-alkoxy, 2,3-dimethoxypropoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-C₁₋₆-alkoxy, cyclopropyl-C₁₋₆-alkoxy, pyridylcarbamoyloxy-C₁₋₆-alkoxy, 3-morpholino-2-hydroxypropoxy, benzyloxy-C₁₋₆-alkoxy, picolyloxy, C₁₋₆-alkoxycarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino, C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino-C₁₋₆-alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkoxy, C₃₋₆-cycloalkylcarbonylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkylcarbonylamino-C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, hydroxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxycarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkoxycarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylaminocarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbonyloxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonyloxy-C₁₋₆-alkoxy, cyano-C₁₋₆-alkyl, cyano-C₁₋₆-alkoxy, 2-oxooxazolidinyl-C₁₋₆-alkyl, 2-oxooxazolidinyl-C₁₋₆-alkoxy, C₁₋₆-alkoxycarbonyl-C₁₋₆-alkyl, C₁₋₆-alkoxycarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylsulphonylamino-C₁₋₆-alkyl, C₁₋₆-alkylsulphonylamino-C₂₋₇-alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylsulphonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylsulphonylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₇-alkoxy, di-C₁₋₆-alkylamino-C₁₋₆-alkyl, Di-C₁₋₆-alkylamino-C₂₋₇-alkoxy, C₁₋₆-alkylsulphonyl-C₁₋₆-alkyl, C₁₋₆-alkylsulphonyl-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkyl, carboxy-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, acyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-carbonylamino, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-hydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkoxyaminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C₁₋₆-alkoxy, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-acyl)-C₁₋₆-alkoxy-C₁₋₆-alkylamino, C₁₋₆-alkoxy-

C₁₋₆-alkylcarbamoyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4-oxoimidazol-1-yl, carbamoyl-C₁₋₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and 2-oxotetrahydropyrimidinyl

7. Compound according to one of Claims 1 to 6 for use in a method for the therapeutic treatment of the human or animal body.

8. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 6 in free form or as a pharmaceutically usable salt.

9. Use of a compound according to one of Claims 1 to 6 for preparing a medicament for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses.

- 82 -

10. Use according to Claim 9, characterized in that the preparation is effected additionally with one or more agents having cardiovascular action, for example α - and β -blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotonergics such as ketanserin; thromboxane-synthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.

11. Method for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to 6.